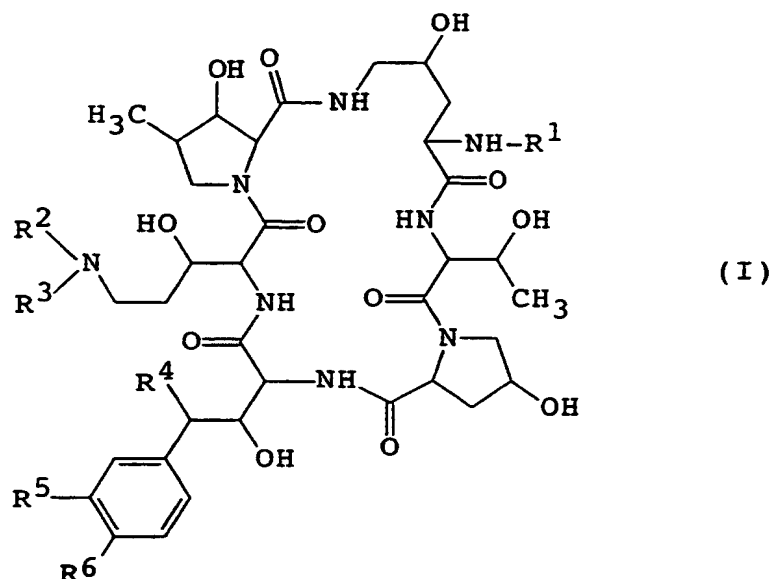


C L A I M S

1. A polypeptide compound of the following general formula (I):



wherein

R¹ is hydrogen or acyl group,

R² and R³ are independently hydrogen, lower alkyl which may have one or more suitable substituent(s), acyl group,

heterocyclic group which may have one or more suitable substituent(s),

lower alkylidenyl which may have one or more suitable substituent(s),

higher alkyl which may have one or more suitable substituent(s) or

cyano,

R⁴ is hydrogen or hydroxy,

R⁵ is hydrogen, hydroxy, lower alkoxy or hydroxysulfonyloxy, and

R⁶ is hydroxy or acyloxy,

or a salt thereof.

2. A compound of ~~claim~~ 1, wherein
R² and R³ are independently hydrogen;

5 lower alkyl which may have one or more suitable
substituent(s) selected from the group consisting of
amino, carboxy, sulfinic acid group, sulfonic acid
group, hydroxy(lower)alkylamino which may have
hydroxy(lower)alkyl, hydroxysulfonyloxy, imino, lower
alkoxy, oxo, lower alkylthio, cyano(lower)alkylidene,
10 and heterocyclic group which may have one or more lower
alkyl;

lower alkoxy carbonyl which may have one or more
suitable substituent(s) selected from the group
consisting of lower alkanoyloxy and heterocyclic group;

15 lower alkenyloxycarbonyl;

ar(lower)alkoxy carbonyl;

lower alkanoyl which may have one or more suitable
substituent(s) selected from the group consisting of
amino, hydroxy and heterocyclic group;

20 heterocyclic carbonyl;

mono or di(lower)alkyl carbamoyl;

sulfonic acid group;

heterocyclic group which may have one or more
suitable substituent(s) selected from the group
25 consisting of lower alkyl, hydroxy(lower)alkyl,
carboxy(lower)alkanoyl which may have amino,
heterocyclic carbonyl, cyclo(lower)alkyl, and oxo;

lower alkylidene which may have mono or di lower
alkylamino;

30 carboxy(higher)alkyl or
cyano.

3. A compound of claim 2, wherein
R² and R³ are independently hydrogen;

(C₁-C₆)alkyl which may have 1 or 2 suitable
substituent(s) selected from the group consisting of
amino, carboxy, sulfinic acid group, sulfonic acid
group, hydroxy(C₁-C₄)alkylamino which may have
5 hydroxy(C₁-C₄)alkyl, hydroxysulfonyloxy, imino,
(C₁-C₄)alkoxy, oxo, cyano(C₂-C₄)alkylidene,
(C₁-C₄)alkylthio, and pyrazolyl which may have
(C₁-C₄)alkyl;

(C₁-C₄)alkoxycarbonyl which may have (C₁-C₄)-
10 alkanoyloxy, dioxacyclo(C₄-C₆)alkenyl which may have
oxo, and (C₁-C₄)alkyl;

fluorenyl(C₁-C₄)alkoxycarbonyl;

(C₂-C₄)alkenyloxy carbonyl;

(C₁-C₆)alkanoyl which may have 1 or 2 suitable
15 substituent(s) selected from the group consisting of
amino, hydroxy and pyrazolyl;

pyrrolidinyl carbonyl;

morpholinocarbonyl;

mono or di(C₁-C₄)alkyl carbamoyl;

20 sulfonic acid group;

piperidyl which may have 1 or 2 suitable
substituent(s) selected from the group consisting of
(C₁-C₄)alkyl, hydroxy(C₁-C₄)alkyl, carboxy(C₁-C₄)-
alkanoyl which may have amino, and azetidiny carbonyl;

25 dioxacyclo(C₄-C₆)alkyl which may have 1 or 2
suitable substituent(s) selected from the group
consisting of (C₁-C₄)alkyl, and cyclo(C₄-C₆)alkyl;

thiopyranyl which may have 1 or 2 oxo;

(C₂-C₄)alkylidene which may have mono or

30 di(C₁-C₄)alkylamino;

carboxy(C₇-C₁₄)alkyl or

cyano.

4. A compound of claim 3, wherein

R² and R³ are independently hydrogen, methyl, aminoethyl, aminobutyl, aminopentyl, carboxymethyl, carboxyethyl, carboxypentyl, sulfonylmethyl, hydroxysulfonylpropyl, hydroxysulfonylbutyl, dihydroxyisopropylaminobutyl, hydroxysulfonyloxypropyl, 1-iminomethoxypropyl, 1-iminocarbamoylethyl, amidino, 2-cyano-1-methylthiovinyl, 2-cyano-1-aminovinyl, methylpyrazolylmethyl, tert-butoxycarbonyl, acetyloxymethoxycarbonyl, 1,3-dioxo-2-oxo-4-methylcyclopentenylmethoxycarbonyl, allyloxycarbonyl, fluorenylmethoxycarbonyl, acetyl, aminopropionyl, aminovaleryl, diaminohexanoyl, 2-hydroxy-4-aminovaleryl, 2-amino-3-pyrazolylpropionyl, pyrrolidinylcarbonyl, morpholinocarbonyl, dimethylcarbamoyl, diethylcarbamoyl, hydroxysulfonyl, piperidyl, dimethylpiperidyl, hydroxyethylmethylpiperidyl, carboxypropionylpiperidyl, 4-amino-4-carboxybutyrylpiperidyl, azetidinyllcarbonylpiperidyl, dimethyl-1,3-dioxacyclohexyl, cyclohexyl-1,3-dioxacyclohexyl, dioxothiopyranyl, dimethylaminomethylidene, carboxyheptyl or cyano.

5. A compound of claim 1, wherein

R¹ is hydrogen; lower alkoxycarbonyl;

aryyl which has heterocyclic group substituted with aryl having a suitable substituent selected from the group consisting of lower alkoxy, lower alkoxy(lower)alkoxy, lower alkoxy(higher)alkoxy, aryl substituted with lower alkoxy(lower)alkoxy, cyclo(lower)alkyl, cyclo(lower)alkyloxy, aryl substituted with lower alkoxy, aryl substituted with lower alkoxy(lower)alkyl, aryl substituted with

heterocyclic group, heterocyclic group substituted with
cyclo(lower)alkyl, heterocyclic group, heterocyclic
group substituted with aryl, heterocyclic group
substituted with aryloxy, heterocyclic group substituted
5 with ar(lower)alkoxy, heterocyclic group substituted
with lower alkoxy and aryl, higher alkoxy,
heterocyclic(higher)alkoxy, lower
alkoxy(higher)alkylsulfonyl, aryloxy(lower)alkoxy,
heterocyclic group substituted with
10 cyclo(lower)alkyloxy, heterocyclic group substituted
with aryl having lower alkoxy(lower)alkoxy, heterocyclic
group substituted with lower alkylthio, heterocyclic
group substituted with lower alkoxy(lower)alkylthio, and
heterocyclic group substituted with lower
15 alkoxy(lower)alkoxy;

aryloxy which has aryl substituted with a suitable
substituent selected from the group consisting of lower
alkoxy having cyclo(lower)alkyl and amino, lower alkoxy
having cyclo(lower)alkyl and protected amino, aryl
20 having lower alkoxy, heterocyclic group having lower
alkyl, heterocyclic group having cyclo(lower)alkyl, and
heterocyclic group having aryl substituted with
heterocyclic group;

aryloxy which has heterocyclic group substituted with
25 cyclo(lower)alkyl having one or more suitable
substituent(s) selected from the group consisting of
lower alkyl, lower alkoxy, cyclo(lower)alkyl, and
cyclo(lower)alkyl substituted with lower alkoxy;

higher alkanoyl;

30 aryloxy which has higher alkoxy; or

heterocycliccarbonyl which has a suitable
substituent(s) selected from the group consisting of
heterocyclic group substituted with higher alkyl,
heterocyclic group substituted with aryl having lower
35 alkoxy, heterocyclic group substituted with aryl having

heterocyclic group, and aryl substituted with lower alkoxy(higher)alkoxy.

6. A compound of ~~claim~~ 5, wherein

5 R^1 is hydrogen; (C_1-C_4) alkoxycarbonyl;

benzoyl which has thiazolyl substituted with phenyl having (C_4-C_6) alkoxy;

10 benzoyl which has thiadiazolyl substituted with phenyl having a suitable substituent selected from the group consisting of (C_1-C_4) alkoxy (C_4-C_6) alkoxy, phenyl substituted with (C_1-C_4) alkoxy (C_1-C_4) alkoxy, (C_1-C_4) alkoxy (C_7-C_{14}) alkoxy, cyclo (C_4-C_6) alkyl, cyclo (C_4-C_6) alkyloxy, phenyl substituted with (C_1-C_4) -alkoxy, phenyl substituted with (C_1-C_4) alkoxy (C_1-C_4) -alkyl, phenyl substituted with di (C_1-C_4) -alkylmorpholino, piperazinyl substituted with cyclo- (C_4-C_6) alkyl, piperazinyl substituted with cyclo- (C_4-C_6) alkyl having (C_1-C_4) alkyl; piperidyl, piperidyl substituted with phenyl, piperidyl substituted with phenoxy, piperidyl substituted with benzyloxy, piperidyl substituted with (C_1-C_4) alkoxy and chlorophenyl, and phenyl having di (C_1-C_4) alkylmorpholino;

20 benzoyl which has pyrimidinyl substituted with phenyl having (C_7-C_{14}) alkoxy;

25 benzoyl which has isoxazolyl substituted with phenyl having a suitable substituent selected from the group consisting of (C_4-C_6) alkoxy, (C_1-C_4) alkoxy- (C_4-C_6) alkoxy, (C_1-C_4) alkoxy (C_7-C_{14}) alkoxy, (C_7-C_{14}) -alkoxy substituted with di (C_1-C_4) alkylmorpholino, and di (C_1-C_4) alkylmorpholino;

30 benzoyl which has oxadiazolyl substituted with phenyl having a suitable substituent selected from the group consisting of (C_4-C_6) alkoxy, (C_1-C_4) alkoxy (C_7-C_{14}) alkoxy, (C_1-C_4) alkoxy (C_7-C_{14}) -alkoxy, and (C_1-C_4) alkoxy (C_7-C_{14}) alkylsulfonyl;

35

benzoyl which has piperazinyl substituted with phenyl having a suitable substituent selected from the group consisting of (C₁-C₄)alkoxy(C₄-C₆)alkoxy, (C₁-C₄)alkoxy(C₇-C₁₄)alkoxy, phenoxy(C₁-C₄)alkoxy, 5 cyclo(C₄-C₆)alkyl, phenyl substituted with (C₁-C₄)-alkoxy(C₄-C₆)alkoxyphenyl, phenyl substituted with di(C₁-C₄)alkylmorpholino, piperidyl substituted with cyclo(C₄-C₆)alkyloxy, piperidyl substituted with phenyl, piperidyl substituted with (C₁-C₄)alkoxy(C₁-C₄)- 10 alkoxyphenyl, piperidyl substituted with (C₁-C₄)alkylthio, piperidyl substituted with (C₁-C₄)alkoxy(C₄-C₆)alkylthio, piperidyl substituted with cyclo(C₄-C₆)alkanespiro, piperidyl substituted with dioxacyclo(C₄-C₆)alkanespiro, piperidyl substituted with 15 (C₁-C₄)alkoxy and phenyl, piperidyl substituted with (C₁-C₄)alkoxy and chlorophenyl, and di(C₁-C₄)-alkylmorpholino;

benzoyl which has piperazinyl substituted with cyclo(C₄-C₆)alkyl having a suitable substituent selected from the group consisting of cyclo(C₄-C₆)- 20 alkyl, (C₄-C₆)alkyl, cyclo(C₄-C₆)alkyl and (C₁-C₄)-alkoxy, and cyclo(C₄-C₆)alkyl substituted with (C₁-C₄)-alkoxy;

benzoyl which has imidazothiadiazolyl substituted with phenyl having a suitable substituent selected from the group consisting of (C₄-C₆)alkoxy, (C₁-C₄)alkoxy-(C₄-C₆)alkoxy, cyclo(C₄-C₆)alkyloxy, piperazinyl 25 substituted with cyclo(C₄-C₆)alkyl, piperidyl substituted with (C₁-C₄)alkoxy(C₁-C₄)alkoxy, piperidyl substituted with (C₁-C₄)alkoxy(C₄-C₆)alkoxy, piperidyl 30 substituted with (C₁-C₄)alkoxy(C₄-C₆)alkylthio, and di(C₁-C₄)alkylmorpholino;

benzoyl which has phenyl substituted with a suitable substituent selected from the group consisting of (C₁-C₄)alkoxy having cyclo(C₄-C₆)alkyl and (C₁-C₄)- 35

alkoxycarbonylamino, (C₁-C₄)alkoxy having cyclo(C₄-C₆)-alkyl and amino, phenyl having (C₄-C₆)alkoxy, thiazolyl having (C₄-C₆)alkyl, piperazinyl having cyclo(C₄-C₆)-alkyl, piperazinyl having phenyl substituted with di(C₁-C₄)alkylmorpholino, and benzoxazolyl having (C₄-C₆)alkyl;

benzoyl which has (C₇-C₁₄)alkoxy;

thiadiazolylcarbonyl which has pyrazolyl substituted with a suitable substituent selected from the group consisting of (C₇-C₁₄)alkyl, phenyl having (C₄-C₆)alkoxy, and phenyl having piperidyl;

piperazinylcarbonyl which has xylyl substituted with (C₁-C₄)alkoxy(C₇-C₁₄)alkoxy; or (C₇-C₁₄)alkanoyl.

7. A compound of claim 6, wherein R¹ is hydrogen;

benzoyl which has thiazolyl substituted with phenyl having pentyloxy;

benzoyl which has thiadiazolyl substituted with phenyl having a suitable substituent selected from the group consisting of methoxyhexyloxy, methoxyoctyloxy, phenyl substituted with methoxyethoxy, phenyl substituted with methoxybutoxy, methoxyheptyloxy, cyclohexyl, cyclohexyloxy, phenyl substituted with propoxy, phenyl substituted with ethoxymethyl, phenyl substituted with methoxypropoxy, phenyl substituted with dimethylmorpholino, piperazinyl substituted with cyclohexyl, piperazinyl substituted with methylcyclohexyl, piperidyl, piperidyl substituted with phenyl piperidyl substituted with phenoxy, piperidyl substituted with benzyloxy, piperidyl substituted with methoxy and chlorophenyl, and dimethylmorpholino;

benzoyl which has pyrimidinyl substituted with phenyl having octyloxy;

5 benzoyl which has isoxazolyl substituted with phenyl having a suitable substituent selected from the group consisting of pentyloxy, methoxyhexyloxy, phenyl having methoxyheptyloxy, heptyloxy substituted with dimethylmorpholino, octyloxy substituted with dimethylmorpholino, and dimethylmorpholino;

10 benzoyl which has oxadiazolyl substituted with phenyl having a suitable substituent selected from the group consisting of pentyloxy, methoxyheptyloxy, methoxynonyloxy, methoxyheptylsulfonyl, and methoxynonylsulfonyl;

15 benzoyl which has piperazinyl substituted with phenyl having a suitable substituent selected from the group consisting of methoxyhexyloxy, methoxyheptyloxy, phenoxypropoxy, cyclohexyl, phenyl substituted with methoxypentyloxyphenyl, phenyl substituted with dimethylmorpholino, piperidyl substituted with cyclohexyloxy, piperidyl substituted with phenyl, piperidyl substituted with methoxybutoxyphenyl, 20 piperidyl substituted with propylthio, piperidyl substituted with methoxyhexylthio, piperidyl substituted with cyclobutanespiro, piperidyl substituted with dioxacyclobutanespiro, piperidyl substituted with methoxy and phenyl, piperidyl substituted with methoxy and chlorophenyl, and dimethylmorpholino;

25 benzoyl which has piperazinyl substituted with cyclohexyl having a suitable substituent selected from the group consisting of tert-butyl, cyclohexyl and methoxy, and cyclohexyl substituted with propoxy;

30 benzoyl which has imidazothiadiazolyl substituted with phenyl having a suitable substituent selected from the group consisting of methoxybutoxy, cyclohexyloxy, piperazinyl substituted with cyclohexyl, piperidyl substituted with methoxypropoxy, piperidyl substituted with methoxybutoxy, piperidyl substituted with 35

methoxypentyloxy, piperidyl substituted with
methoxyhexyloxy, piperidyl substituted with
methoxyhexylthio, and dimethylmorpholino;

benzoyl which has phenyl substituted with a
5 suitable substituent selected from the group consisting
of propoxy having cyclohexyl and tert-
butoxycarbonylamino, cyclohexyl and amino, phenyl having
pentyloxy, thiazolyl having pentyl, piperazinyl having
cyclohexyl, piperazinyl having phenyl substituted with
10 dimethylmorpholino, and benzoxazolyl having pentyl;

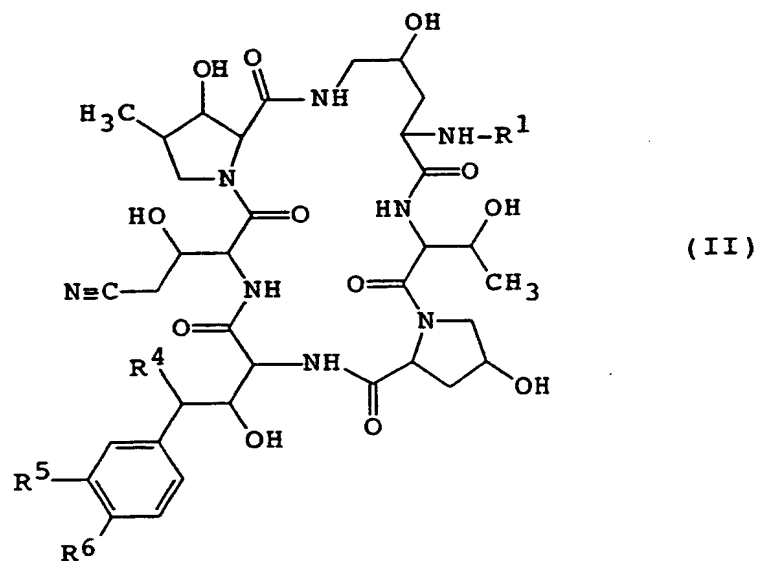
benzoyl which has octyloxy;

thiadiazolylcarbonyl which has pyrazolyl substituted with a suitable substituent selected from the group consisting of decyl, phenyl having hexyloxy, and phenyl having piperidyl;

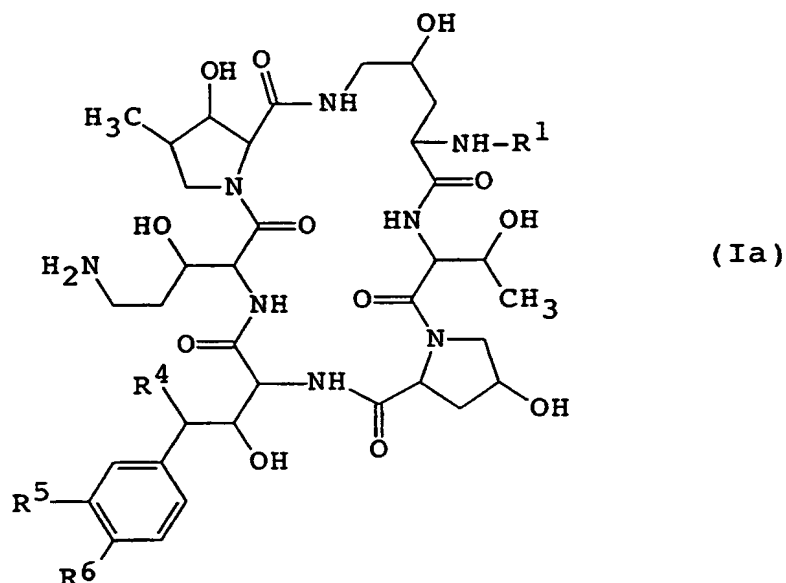
piperazinylcarbonyl which has xylyl substituted with methoxyheptyloxy; or palmitoyl.

20 8. A process for preparing a polypeptide compound (I) of
claim 1, or a salt thereof,
which comprises,

i) reducing a compound (II) of the formula:

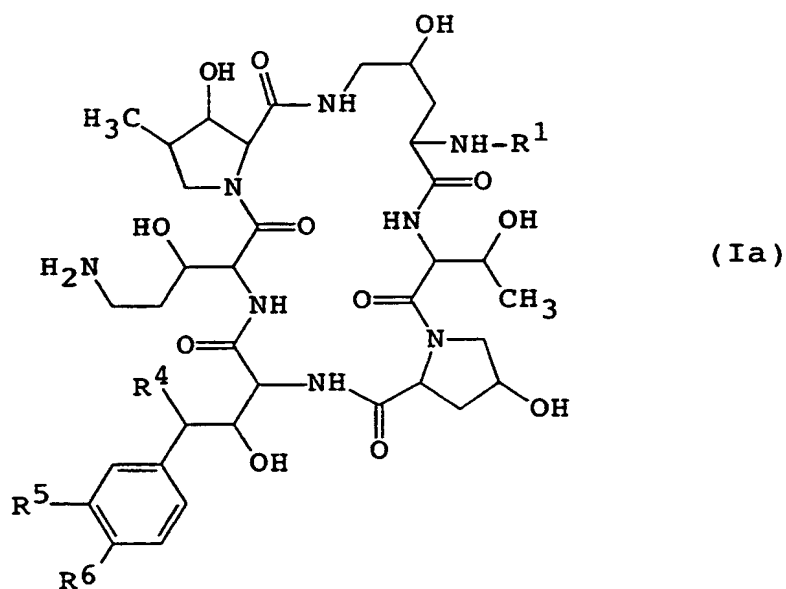


wherein R^1 , R^4 , R^5 and R^6 are as defined in claim 1,
or a salt thereof, to give a compound (Ia) of the
formula:

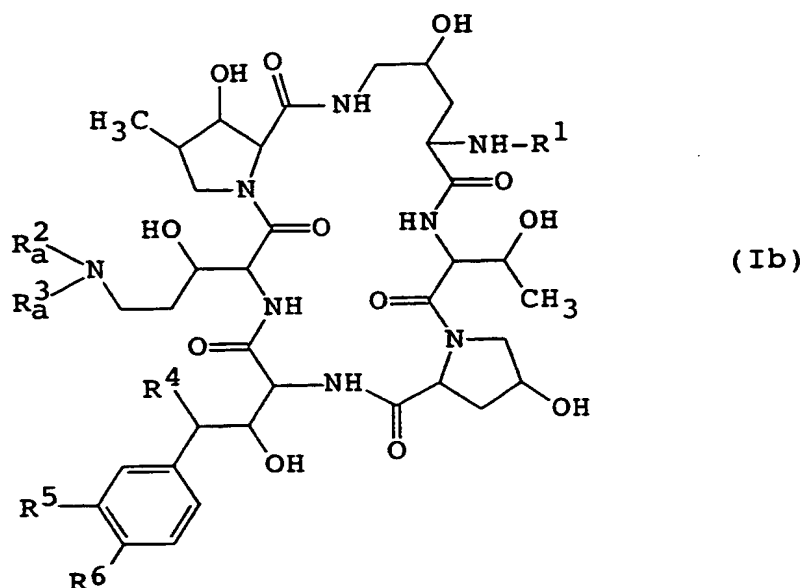


wherein R^1 , R^4 , R^5 and R^6 are as defined in claim 1,
or a salt thereof, or

ii) subjecting a compound (Ia) of the formula:

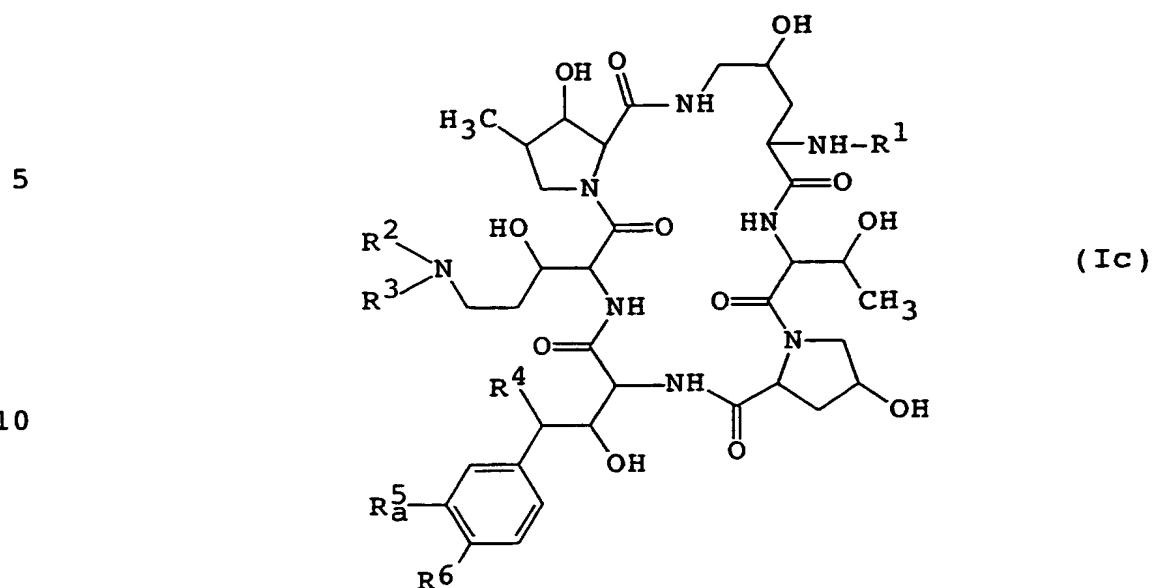


wherein R^1 , R^4 , R^5 and R^6 are as defined in claim 1,
or a salt thereof, to protective reaction of amino, to
give a compound (Ib) of the formula:



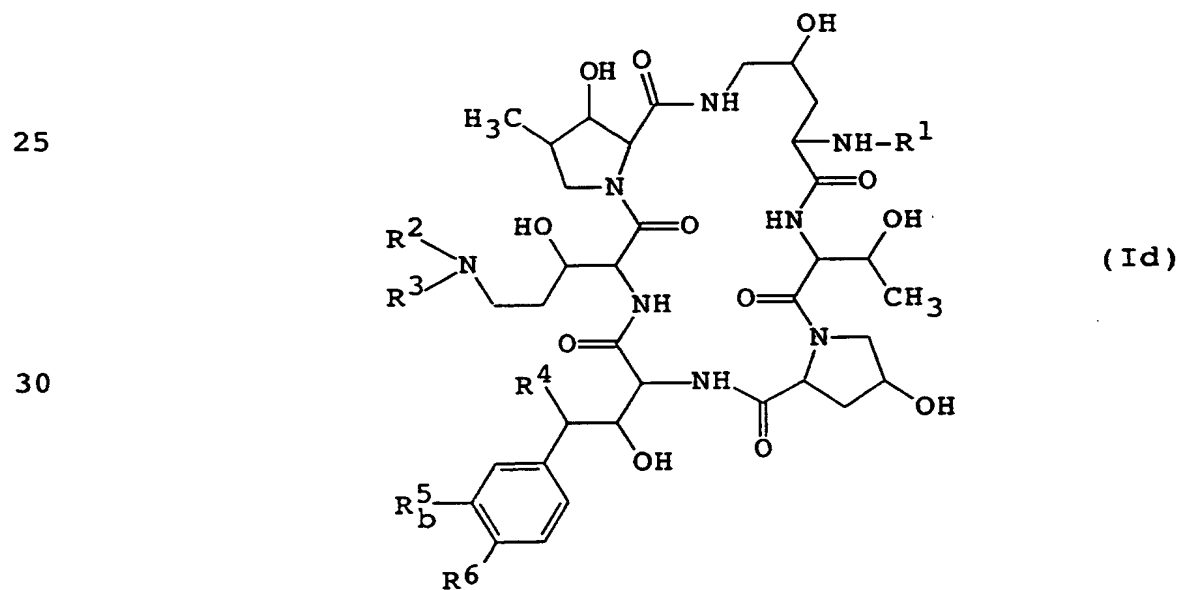
20 wherein R^1 , R^4 , R^5 and R^6 are defined in claim 1,
 R^2_a is hydrogen, lower alkyl which may have one
or more suitable substituent(s), acyl
group, heterocyclic group which may have
one or more suitable substituent(s), lower
25 alkylidenyl which may have one or more
suitable substituent(s) or cyano and
 R^3_a is lower alkyl which may have one or more
suitable substituent(s), acyl group,
heterocyclic group which may have one or
more suitable substituent(s), lower
30 alkylidenyl which may have one or more
suitable substituent(s) or cyano,
or a salt thereof, or

iii) subjecting a compound (Ic) of the formula:



15 wherein R¹, R², R³, R⁴ and R⁶ are defined in claim 1,
and

R^{5a} is hydroxysulfonyloxy,
or a its reactive derivative at the sulfonic acid group,
or a salt thereof, to hydrolysis reaction of the
20 sulfonic acid group, to give a compound (Id) of the
formula:



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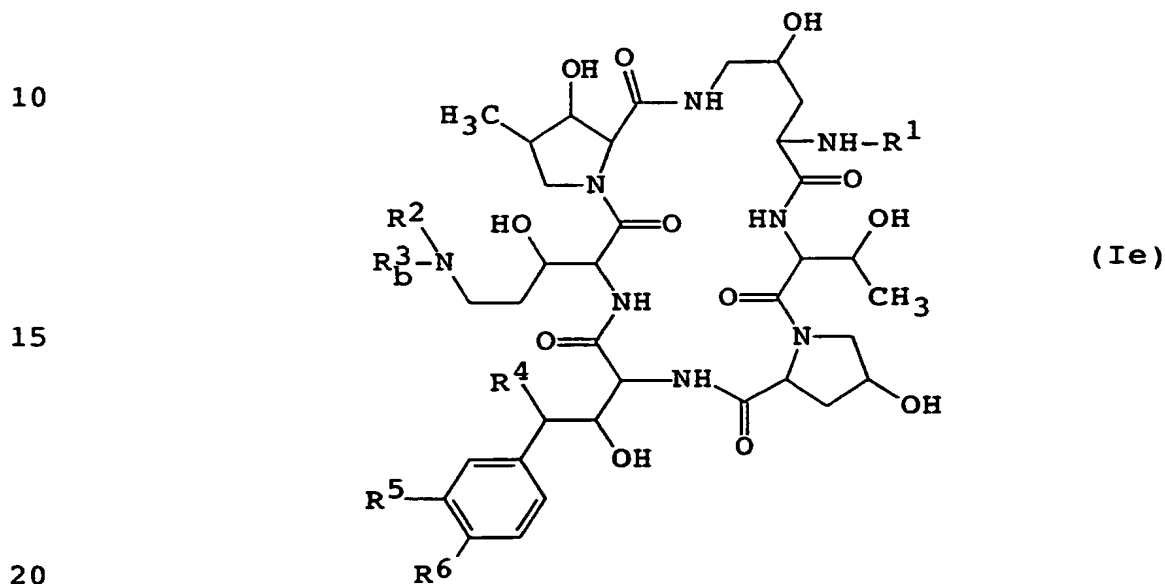
wherein R^1 , R^2 , R^3 , R^4 and R^6 are defined in claim 1,

and

R_D^5 is hydroxy,
or a salt thereof, or

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iv) subjecting a compound (Ie) of the formula:



wherein R^1 , R^2 , R^4 , R^5 and R^6 are defined in claim 1,

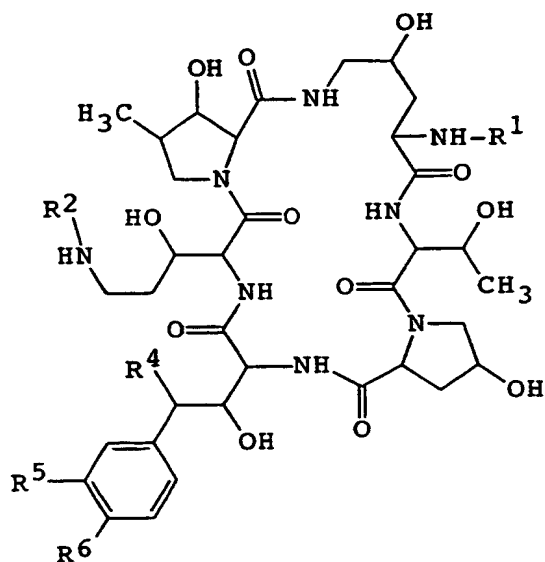
and

25

R_D^3 is amino protective group,
or a salt thereof, to elimination reaction of amino
protective group, to give a compound (If) of the
formula:

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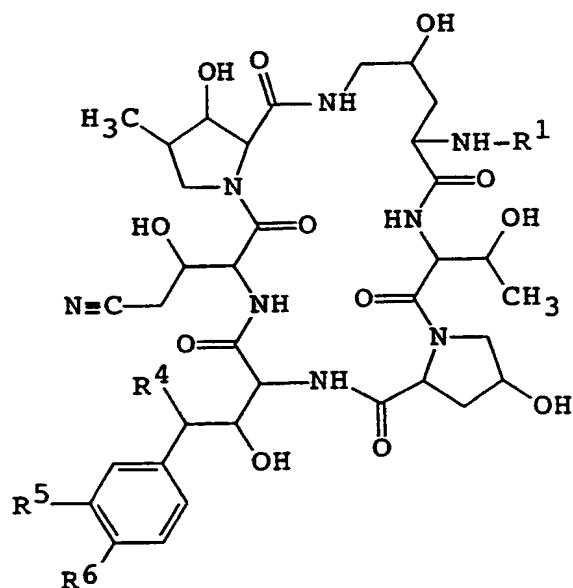
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(If)

wherein R^1 , R^2 , R^4 , R^5 and R^6 are defined in claim 1, or a salt thereof.

v) reducing a compound (II) of the formula:



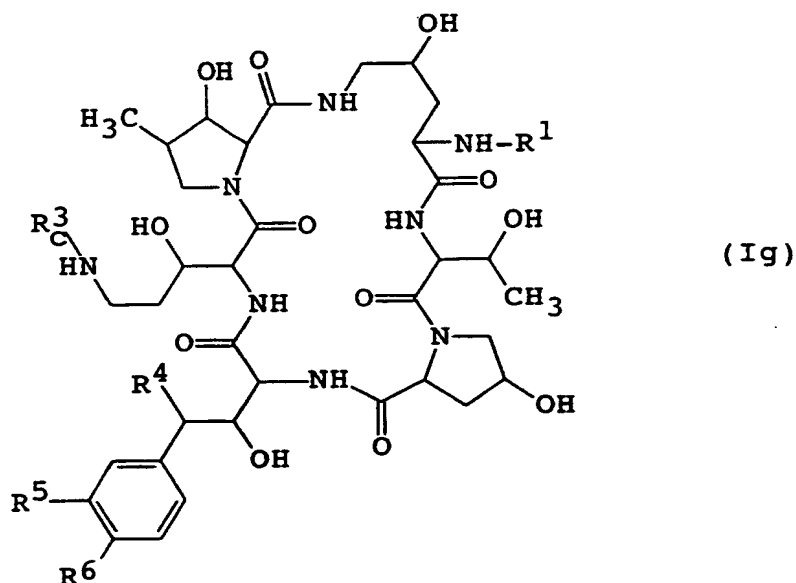
(II)

wherein R^1 , R^4 , R^5 and R^6 are defined in claim 1,

or its reactive derivative or a salt thereof, and then reacting with a compound (IV) of the formula.

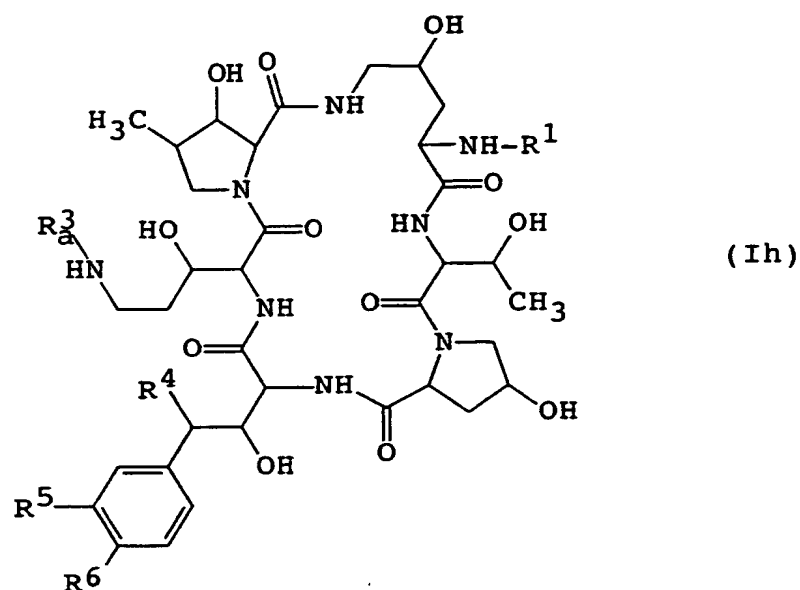


wherein R_C^3 is acyl group,
or its reactive derivative or a salt thereof,
to give a compound (Ig) of the formula:



wherein R^1 , R^4 , R^5 and R^6 are defined in claim 1, and
 R_C^3 is acyl group,
 or a salt thereof, or

vi) reacting a compound (Ih) of the formula:



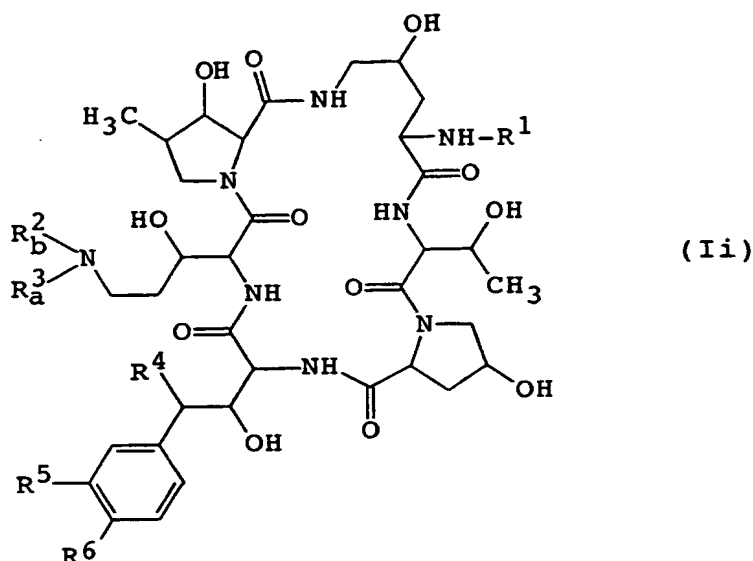
15 wherein R¹, R⁴, R⁵ and R⁶ are defined in claim 1, and
 R³_a is lower alkyl which may have one or more
 suitable substituent(s), acyl group,
 heterocyclic group which may have one or
 more suitable substituent(s), higher
 20 alkyl which may have one or more suitable
 substituent(s) or cyano,
 or its reactive derivative or a salt thereof, with a
 compound (V) of the formula:



wherein R_B² is acyl group,
 or its reactive derivative or a salt thereof,
 to give a compound (Ii) of the formula:

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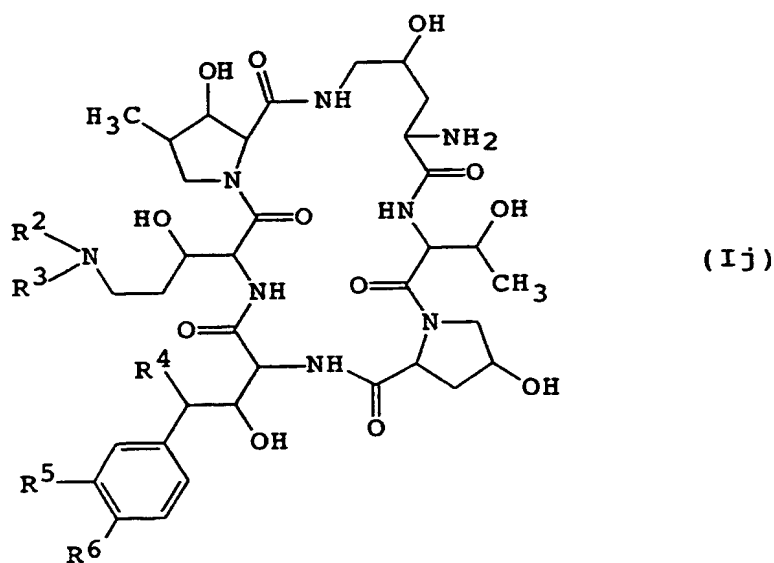
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wherein R^1 , R^4 , R^5 and R^6 are defined in claim 1,

R_a^3 is lower alkyl which may have one or more
suitable substituent(s), acyl group,
heterocyclic group which may have one or
more suitable substituent(s), higher
alkyl which may have one or more suitable
substituent(s) or cyano, and
 R_b^2 is acyl group, or a salt thereof, or

vii) reacting a compound (Ij) of the formula:

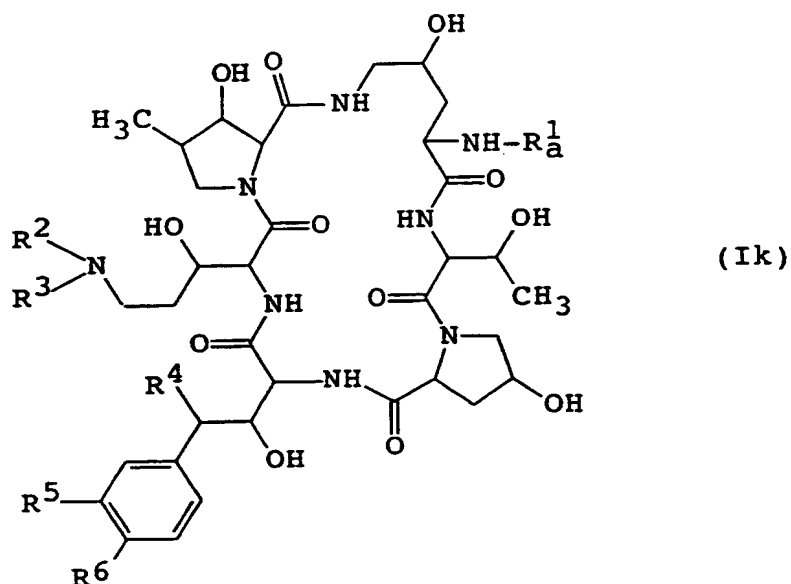


wherein R^2 , R^3 , R^4 , R^5 and R^6 are defined in claim 1, or its reactive derivative at the amino group, or a salt thereof, with a compound (III) of the formula:



wherein R_a^1 is acyl group, or its reactive derivative at the carboxy group, or a salt thereof, to give a compound (Ik) of the formula:

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25 wherein R^2 , R^3 , R^4 , R^5 and R^6 are defined in claim 1, and R_a^1 is acyl group.

30 9. A pharmaceutical composition which comprises, as an active ingredient, a compound of Claim 1 or a pharmaceutically acceptable salt thereof in admixture with pharmaceutically acceptable carrier or excipients.

10. Use of a compound of Claim 1 or a pharmaceutically acceptable salt thereof for the manufacture of a medicament.

5 11. A compound of Claim 1 or a pharmaceutically acceptable salt thereof for use as a medicament.

10 12. A method for the prophylactic and/or therapeutic treatment of infectious diseases caused by pathogenic microorganisms, which comprises administering a compound of claim 1 or a pharmaceutically acceptable salt thereof to a human being or an animal.

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